# (19) World Intellectual Property Organization

International Bureau



# 

### (43) International Publication Date 21 July 2005 (21.07.2005)

**PCT** 

## (10) International Publication Number WO 2005/066126 A1

- (51) International Patent Classification<sup>7</sup>: C07D 209/08, 209/10, 209/42, 231/56, 471/04, 401/04, 401/12, 403/12, 403/04, 417/04, A61K 31/404, 31/407, A61P 3/04
- (21) International Application Number:

PCT/US2004/039763

(22) International Filing Date:

13 December 2004 (13.12.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/532,247

23 December 2003 (23.12.2003) US

- (71) Applicant (for all designated States except US): ELI LILLY AND COMPANY [US/US]; Lilly Corporate Center, Indianapolis, Indiana 46285 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): ALLEN, Jennifer, Rebecca [US/US]; 5886 North Delaware, Indianapolis, Indiana 46220 (US). AMEGADZIE, Albert, Kudzovi [CA/US]; 10569 Camille Court, Indianapolis, Indiana 46236 (US). GARDINIER, Kevin, Matthew [US/US]; 7278 North Hawthorne Lane, Indianapolis, Indiana 46250 (US). GREGORY, George, Stuart [US/US]; 6295 Valleyview Drive, Fishers, Indiana 46038 (US). HITCHCOCK, Steven, Andrew [US/US]; 2256 Kirsten Lee Drive, Westlake Village, California 91361 (US). HOOGESTRAAT, Paul, J. [US/US]; 454 East 10th Street, Indianapolis, Indiana 46202 (US). JONES, Winton, Dennis, Junior [US/US]; 1227 East 126th Street, Carmel, Indiana 46033 (US). SMITH, Daryl, Lynn [US/US]; 11830 Ashton Drive, Fishers, Indiana 46038 (US).
- (74) Agents: CLEVELAND, John, A., Jr. et al.; Eli Lilly and Company, P. O. Box 6288, Indianapolis, Indiana 46206-6288 (US).

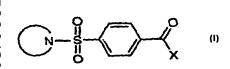
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### **Declarations under Rule 4.17:**

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,

[Continued on next page]

(54) Title: CB1 MODULATOR COMPOUNDS



(57) Abstract: Novel compounds of structural formula (I) are disclosed. As modulators of the Cannabinoid-1 (CB1) receptor, these compounds are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. As such, compounds of the present invention are useful as in the treatment, prevention and suppression of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuro-inflammatory disorders (e.g., multiple sclerosis, Guillain-Barre

syndrome and the inflammatory sequelae of viral encephalitis), cerebral vascular accidents, head trauma, anxiety disorders, stress, epilepsy, Parkinson's disease, and schizophrenia. The compounds are also useful for the treatment of substance abuse disorders, particularly to opiates, alcohol, and nicotine. The compounds are also useful for the treatment of obesity or eating disorders associated with excessive food intake and complications associated therewith.

## WO 2005/066126 A1



LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

of inventorship (Rule 4.17(iv)) for US only

#### Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.